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L5: Entry 34 of 37

File: USPT

Jul 13, 1993

DOCUMENT-IDENTIFIER: US 5227165 A

TITLE: Liposphere delivery systems for local anesthetics

Brief Summary Text (11):

Local anesthetics have been prepared in a number of delivery systems. U.S. Pat. Nos. 4,622,219 and 4,725,442 to Haynes describe a method to administer a liquid general anesthetic such as halothane, isoflurane, enflurane, or methoxyflurane as a local anesthetic, by incorporating the liquid into an unilamellar phospholipid vesicle that consists of a spherical lipid layer surrounding an internal oil phase. U.S. Pat. No. 4,761,288 to Messi describes a multiphase drug delivery system that includes lipid vesicles encapsulating a saturated solution of biologically active compound and biologically active compound in the solid form. European Patent Application No. 88300529.0 filed by Vestar, Inc., describes aqueous emulsions of phospholipid residues at 100 nm or less diameters encapsulating active ingredients and a triglyceride.

Detailed Description Text (41):

The choice of anesthetic will depend on the type of discomfort to be alleviated and is generally known to those skilled in the art of anesthesia. For example, procaine is commonly injected during dental procedures. Some local anesthetics are too toxic to be given by injection, and are restricted to topical applications to the skin, eye, or mucous membranes. Benoxinate and proparacaine are both commonly applied ophthalmic anesthetics. Cyclomethylcaine is used on damaged or diseased skin and on the mucosa of the rectum and the genitourinary system. Dimethisquin is used as an antipruritic for the relief of itching and pain associated with dermal lesions. Dyclonine, hexylcaine, and pramoxine, are also used to relieve dermal discomfort.

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L5: Entry 36 of 37

File: USPT

Dec 29, 1992

DOCUMENT-IDENTIFIER: US 5175190 A

TITLE: Medium chain fatty acids of C8-10 for the treatment of skin lesions

Detailed Description Text (12):

The cytolytic effect of free fatty acids has been shown to depend upon uptake by cells of an amount in excess of their capacity for metabolizing it. The excess free fatty acids insert into the nuclear membrane increasing its fluidity. This is followed by an influx of chloride ion and nuclear edema, which progresses with time to karyorrhexis and cell lysis. Many cells examined, including all of a variety of rodent tumor cells, cannot survive uptake of 1  $\mu\text{mol/g}$  tissue without lysis. Liver, adipose tissue, lung and intestinal mucosa can withstand up to several orders of magnitude greater quantities of free fatty acids, having proteins which bind, or enzymes which metabolize them. The process of lysis requires several hours, but uptake is rapid and irreversible damage can be maximal by two min.

Detailed Description Text (23):

There are several tissues which, by virtue of efficient enzymatic mechanisms and of intracellular proteins which bind fatty acids as does albumin, have a very great capacity to handle high concentrations of fatty acids which they do in normal circumstances. These are liver, adipose tissue, intestinal mucosa and lung. The normal concentration of fatty acids entering these tissues at times is estimated to be much greater than most other cells, including tumor cells, can withstand. Accordingly, investigations were undertaken to explore the possibility that tumor cells growing in liver or lung, whether as primary or secondary growths, would take up such large quantities of fatty acids as the surrounding tissue, and if so, if this would cause lysis.

Detailed Description Text (24):

Rats used were of the Sprague-Dawley, Buffalo and NB strains, the latter two inbred, and tumors including the Walker 256 in rats, Morris hepatoma of Buffalo rats, NB.sub.2 lymphoma of NB rats. Mice were of CD1 strain and hybrids B6D2F1/J which are a cross of (DBA/2.times.C57Bl/6J), carrying the transplanted tumor M114 which originated in the DBA/2 strain. Tumors were implanted in the liver by trocar and experiments carried out five or more days later when the tumors were established and were several mm in diameter. The uptake of fatty acids by liver and tumor tissues was followed using 1-<sup>sup.14</sup>C-oleic acid and 1-<sup>sup.14</sup>C-octanoic (caprylic) acid. These were diluted with unlabelled acid to determine the distribution of normal substrate levels of each fatty acid. Two preparations were tested: the sodium salt or soap of each was prepared, and an emulsion of each with 2.5% glycerol and 1.25% lecithin was prepared, giving stable droplets of  $1.0 \mu\text{m}$ .

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L5: Entry 37 of 37

File: USPT

Dec 24, 1991

US-PAT-NO: 5075113

DOCUMENT-IDENTIFIER: US 5075113 A

TITLE: Products comprising an emulsion of water and oily paraffinic hydrocarbons with added extracts of lecithin and processes for production

DATE-ISSUED: December 24, 1991

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
DuBois; Jacques	11100 Narbonne			FR

US-CL-CURRENT: 424/450; 424/447, 424/449, 424/63

## CLAIMS:

What is claimed is:

1. A product consisting essentially of an emulsion of an aqueous phase consisting essentially of water and an extract of hydrodispersible leithin enriched in phosphatidylcholine in a proportion comprised between 0.01% and 5% of the weight of the water and an oily phase consisting essentially of paraffin hydrocarbons that are oily or solid at ambient temperature and lipo-soluble lecithin in a proportion comprised between 0.01% and 5% by weight of the paraffin hydrocarbons, the proportion of oily phase being between 5% and 90% by weight of the hole.

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L5: Entry 21 of 37

File: USPT

May 12, 1998

DOCUMENT-IDENTIFIER: US 5750142 A

TITLE: Dry compositions for preparing submicron emulsions

Brief Summary Text (62):

These emulsions are lyophilized to provide a fine essentially dry material, which can be stored without deterioration for a prolonged period of time, and which can be reconstituted to give a stable oil-in-water emulsion. Moreover, the lyophilized emulsion can be stored for a long term at ambient room temperature and which may be reconstituted to a fine submicron emulsion, which is exceedingly stable. After reconstitution, these emulsions may be used for oral, parenteral or topical applications, including ocular, transdermal, mucosal and for vaccinations or blood substitution, as well as for other pharmaceutical and cosmetic uses.

## CLAIMS:

18. The emulsion of claim 16 wherein the emulsifier is a phospholipid compound or a mixture of phospholipids.

19. The emulsion of claim 18 wherein the phospholipid is phosphatidylcholine, phosphatidylethanolamine or mixtures thereof.

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L5: Entry 20 of 37

File: USPT

Jul 28, 1998

US-PAT-NO: 5785976

DOCUMENT-IDENTIFIER: US 5785976 A

TITLE: Solid lipid particles, particles of bioactive agents and methods for the manufacture and use thereof

DATE-ISSUED: July 28, 1998

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Westesen; Kirsten	Konigslutter/Bornum			DE
Siekmann; Britta	Braunschweig			DE

US-CL-CURRENT: 424/400; 252/363.5, 264/4.4, 424/405, 424/484, 424/498, 427/213.32, 428/402.24, 504/363, 514/965, 516/31, 516/77, 516/9, 516/922, 516/926, 516/930

## CLAIMS:

We claim:

1. A process for transferring insoluble or sparingly water soluble agents which are solid at room temperature into suspensions, characterized in that said suspensions is/are colloidal, long-term stable, of narrow size distribution and allow(s) high particle concentration(s) and that the following steps are carried out

a. the solid agent or a mixture of solid agents is melted,

b. a dispersion medium is heated to approximately the same temperature as the molten solid agent or the mixture of molten solid agents,

c. one or more highly mobile water-soluble or dispersible stabilizers is/are added to the dispersion medium in such a way that the amount of highly mobile stabilizers is, after emulsification, sufficient to stabilize newly created surfaces during recrystallization, optionally, one or more lipid-soluble or dispersible stabilizers is are added additionally to the melted agent or mixture of agents,

d. the melted agent or mixture of agents and the dispersion medium is/are premixed to a crude dispersion and subsequently homogenized by high-pressure homogenization, micro-fluidization and/or ultrasonication,

e. the homogenized dispersion is allowed to cool until solid particles are formed by recrystallization of the dispersed agents.

2. A process according to claim 1, characterized in that the homogenized dispersion is passed through a filter prior to cooling below the recrystallization temperature to remove particulate contaminations in such a way that the filter pore size is chosen large enough not to retain the particles of emulsified, molten agents.

3. A process according to claim 1, characterized in that the solid agent or mixture of solid agents is/are a lipid/lipids having melting points between

approximately 30.degree. C. and 120.degree. C. and are constituted of mono-, di- and triglycerides of long chain fatty acids; hydrogenated vegetable oils; fatty acids and their esters; fatty alcohols and their esters and ethers; natural or synthetic waxes; wax alcohols and their esters; sterols; hard paraffins; or mixtures of the above mentioned lipids.

4. A process according to claim 1, characterized in that the solid agent or mixture of solid agents is/are a bioactive agent/bioactive agents or drug/drugs showing a low bioavailability and/or being badly absorbed from the instestinum and having melting points below about 100.degree. C. or the melting points of which can be decreased to below about 100.degree. C. by addition of adjuvants, such bioactive agent/bioactive agents or drug/drugs being anesthetics and narcotics, anticholinergics, antidepressives, psychostimulants and neuroleptics, antiepileptics, antimycotics, antiphlogistics, bronchodilators, cardiovascular drugs, cytostatics, hyperemic drugs, lipid reducers, spasmolytics, testosterone derivatives, tranquilizers, virustatics, vitamin A derivatives, vitamin E derivatives, menadione, cholecalciferol, insecticides, pesticides and/or herbicides.

5. A process according to claim 4, characterized in that the solid agent or mixture of solid agents is/are a bioactive agent/bioactive agents or drug/drugs showing a low bioavailability and/or being badly absorbed from the instestinum and having melting points below about 100.degree. C. or the melting points of which can be decreased to below about 100.degree. C. and being constituted of butanilicaine, fomocaine, isobutambene, lidocaine, risocaine, pseudococaine, prilocaine, tetracaine, trimecaine, tropacocaine, etomidate, metixen, profenamine, alimenazine, binedaline, perazine, chlorpromazine, fentpentadiol, fenanisol, mebenazine, methylphenidate, thioridazine, toloxaton, trimipramide, dimethadion, nicethamide, butoconazole, chlorphenesin, etisazole, exalamid, precilocine, miconazole, butibufen, ibuprofin, bamifylline, alprenolol, butobendine, clordiazole, hexobendine, nicofibrate, penbutolol, pirmenol, prenylamine, procaine amide, propatrylnitrate, suloctidil, toliprolol, xidbendol, viquidile, asperline, chlorambucile, mitotane, estramustine, taxol, penclomidine, trofosfamide, capsaicine, methylnicotinate, nicolclonate, oxprenolol, pirifibrate, simfibrate, thiadenol, aminopromazine, caronerine, difemerine, fencarbamide, tiropramide, moxaverine, testosterone enantate, testosterone-(4-methylpentanoate), azaperone, buramate, arildon, retinol, retinol acetate, retinol palmitate, tocopherol acetate, tocopherol succinate, tocopherol nicotinate, menadione, cholecalciferol, acephate, cyfluthrin, azinphosphomethyl, cypermethrine, substituted phenyl thiophosphates, fenclophos, permethrine, piperonal, tetramethrine and/or trifluraline.

6. A process according to claim 1, characterized in that the surface characteristics of the particles are modified after homogenization in order to control the biodistribution of the particles.

7. A process according to claim 1, characterized in that during cooling the dispersion is agitated.

8. A process according to claim 1, characterized in that the dispersion medium is a pharmacologically acceptable liquid not dissolving the agent or mixture of agents.

9. A process according to claim 8, characterized in that the dispersion medium is a pharmacologically acceptable liquid not dissolving the agent or mixture of agents selected from the group consisting of water, ethanol, propylene glycol, dimethyl sulfoxide (DMSO), methyl-isobutyl-ketone and mixtures thereof.

10. A process according to claim 1, characterized in that the stabilizer or stabilizers are amphiphatic compounds, physiological bile salts, saturated and unsaturated fatty acids or fatty alcohols, ethoxylated fatty acids or fatty alcohols and their esters and ethers, alkylaryl-polyether alcohols, esters and ethers of sugars or sugar alcohols with fatty acids or fatty alcohols, acetylated or ethoxylated mono- and diglycerides, synthetic biodegradable polymers, ethoxylated sorbitanesters or sorbitanethers, amino acids,

polypeptides and proteins or a combination of two or more of the above mentioned stabilizers.

11. A process according to claim 10, characterized in that the stabilizer or stabilizers are selected from the group consisting of ionic and non-ionic surfactants, naturally occurring and synthetic phospholipids, their hydrogenated derivatives and mixtures thereof, sphingolipids and glycosphingolipids, sodium cholate, sodium dehydrocholate, sodium deoxycholate, sodium glycocholate, sodium taurocholate, tyloxapol, block co-polymers of polyoxyethylene and polyoxypropyleneoxide, gelatin and albumin and a combination of two or more of the above mentioned stabilizers.

12. A process according to claim 1, characterized in that the stabilizer or stabilizers is/are a combination of phospholipids and bile salts.

13. A process according to claim 12, characterized in that the molar ratio of phospholipids to bile salts is about 2:1 or above.

14. A process according to claim 12, characterized in that the dispersion medium contains isotonicity agents and/or cryoprotectants.

15. A process according to claim 14, characterized in that the isotonicity agent is glycerol and the cryoprotectant is a sugar or sugar alcohol.

16. A process according to claim 1, characterized in that the stabilizer or stabilizers is/are a combination of phospholipids and sodium glycocholate in a molar ratio between about 2:1 and about 4:1.

17. A process according to claim 1, characterized in that the dispersion medium contains one or more of the following additives: water-soluble or dispersable stabilizers; isotonicity agents; cryoprotectants; electrolytes; buffers; antiflocculants; and preservatives.

18. A process according to claim 17, characterized in that the dispersion medium contains one or more of the following additives: water-soluble or dispersable stabilizers, glycerol, xylitol, sucrose, glucose, maltose, trehalose, sodium citrate, sodium pyrophosphate and sodium dodecylsulfate.

19. A process according to claim 1, characterized in that the dispersion is sterilized prior to cooling down the dispersion below the recrystallization temperature of the molten lipids.

20. A process according to claim 1, characterized in that in a subsequent step the dispersion medium is reduced in volume, yielding liquid-free particles which can be reconstituted prior to use.

21. A suspension of colloidal solid lipid particles (SLPs) manufactured according to claim 1, characterized in that the SLPs are lipids having melting points between approximately 30.degree. C. and 120.degree. C. and are constituted of mono- di- and triglycerides of long chain fatty acids; hydrogenated vegetable oils; fatty acids and their esters; fatty alcohols and their esters and ethers; natural or synthetic waxes; wax alcohols and their esters; sterols; hard paraffins; or mixtures of the above-mentioned lipids, and further characterized in that the particles are stabilized by a combination of phospholipids and bile salts.

22. A suspension of colloidal solid lipid particles (SLPs) according to claim 21, characterized in that the molar ratio of phospholipids to bile salts is about 2:1 or above.

23. A suspension of colloidal particles (SLPs) according to claim 21, characterized in that the dispersion medium contains isotonicity agents and/or cryoprotectants.

24. A suspension of colloidal particles (SLPs) according to claim 23,

characterized in that the dispersion medium contains glycerol and/or sugars or sugar alcohols.

25. A suspension of colloidal solid lipid particles (SLPs) according to claim 21, characterized in that the SLPs are of a non-.alpha.-like crystalline modification at a temperature below the melting temperature.

26. A suspension of colloidal solid lipid particles (SLPs) according to claim 21, characterized in that the SLPs are of a non-spherical shape at a temperature below the melting temperature.

27. A suspension of colloidal solid lipid particles (SLPs) according to claim 21, characterized in that the particles are of micron or submicron size, predominantly in the size range from 20 to 500 nm.

28. A suspension of colloidal solid lipid particles (SLPs) according to claim 21, characterized in that into the SLPs are entrapped drugs or bioactive compounds which are poorly water-soluble, show a low bioavailability, are badly absorbed from the intestine and/or are rapidly degraded in a biological environment by chemical or enzymatical processes.

29. A suspension of colloidal solid lipid particles (SLPs) according to claim 28, characterized in that the entrapped drugs are antibiotics, antihypertensives, antihypotensives, systemic antimycotics, antiphlogistics, antiviral agents, immunoglobulins, ACE inhibitors, betablockers, bronchodilators, calcium antagonists, cardiac glycosides, cephalosporins, cytostatics, hypnotics, psychotropic drugs, steroid hormones, vasodilators, cerebral vasodilators, and lipophilic vitamins and their derivatives.

30. A suspension of colloidal solid lipid particles (SLPs) according to claim 29, characterized in that the entrapped drugs are selected from the group consisting of fosfomycin, fosmidomycin, rifapentin, minoxidil, dihydroergotamine, endralazine, dihydroergotamine, ketoconazole, griseofulvin, indomethacin, diclofenac, ibuprofen, ketoprofen, piroxicam, aciclovir, vidarabin, captopril, enalapril, propranolol, atenolol, metoprolol, pindolol, oxprenolol, labetalol, ipratropiumbromide, salbutamol, diltiazem, flunarizine, verapamil, nifedipine, nimodipine, nitrendipine, digitoxin, digoxin, methyl digoxin, acetyldigoxin, nitrendipine, digitoxin, digoxin, methyl digoxin, acetyldigoxin, ceftizoxime, cefalexin, cefalotin, cefotaxime, chloramphenicol, cyclophosphamide, chlorambucil, cytarabine, vincristine, mitomycin C, doxorubicin, bleomycin, cisplatin, taxol, penclomethine, estramustine, flurazepam, nitrazepam and lorazepam, oxazepam, diazepam, bromazepam, cortisone, hydrocortisone, prednisone, prednisolone, dexamethasone, progesterone, pregnanolone, testosterone, testosteroneundecanoate, molsidomin, hydralazine, dihydralazine, cicalonicat, vincamin, and Vitamins A, D, E, K and their derivatives.

31. Liquid-free particles manufactured by removing the dispersion medium from a suspension according to claim 21 by filtration, ultrafiltration or freeze-drying.

32. A pharmaceutical or medical composition which includes liquid-free particles as set forth in claim 31.

33. In the therapeutic treatment in a living human or animal body, the improvement comprising administering a suspension according to claim 21, but not containing insecticides, pesticides or herbicides.

34. A suspension according to claim 21 for use as a medicament.

35. A pharmaceutical or medical composition which includes a suspension as set forth in claim 21.

36. A suspension of colloidal solid lipid particles (SLPs) manufactured according to claim 1, characterized in that the SLPs are lipids having melting points between approximately 30.degree. C. and 120.degree. C. and are



constituted of mono-, di- and triglycerides of long chain fatty acids; hydrogenated vegetable oils; fatty acids and their esters; fatty alcohols and their esters and ethers; natural or synthetic waxes; wax alcohols and their esters; sterols; hard paraffins; or mixtures of the above-mentioned lipids, and further characterized in that the particles are stabilized by a combination of phospholipids and sodium glycocholate in a molar ratio between about 2:1 and about 4:1.

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File: USPT

Sep 22, 1998

US-PAT-NO: 5811088

DOCUMENT-IDENTIFIER: US 5811088 A

TITLE: Antiinfective compounds and methods of use

DATE-ISSUED: September 22, 1998

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Hunter; Robert L.	Tucker	GA		
Emanuele; R. Martin	Alpharetta	GA		
Allaudeen; Hameedsulthan S.	Alpharetta	GA		

## ASSIGNEE-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY	TYPE CODE
Emory University	Atlanta	GA			02

APPL-NO: 08/ 457808 [PALM]

DATE FILED: June 1, 1995

## PARENT-CASE:

CROSS-REFERENCE TO RELATED APPLICATIONS This is a continuation of application Ser. No. 08/161,551, filed Dec. 2, 1993, now abandoned which is a continuation-in-part of U.S. patent application Ser. No. 08/081,006, filed Jun. 22, 1993, now abandoned, which is a continuation of U.S. patent application Ser. No. 07/760,808 filed Sep. 16, 1991, now abandoned, which is a continuation of U.S. patent application Ser. No. 07/419,016 filed Oct. 10, 1989, now abandoned, which is a continuation-in-part application of U.S. patent application Ser. No. 07/150,731 filed on Feb. 16, 1988, now abandoned, which is a continuation-in-part of U.S. patent application Ser. No. 07/141,668 filed on Jan. 7, 1988, now abandoned, which is a continuation of U.S. patent application Ser. No. 07/017,330, filed on Feb. 20, 1987, now abandoned.

INT-CL: [06] A61 K 31/74, A61 K 31/765

US-CL-ISSUED: 424/78.08; 424/78.17

US-CL-CURRENT: 424/78.08; 424/78.17

FIELD-OF-SEARCH: 424/78.08, 424/78.17

PRIOR-ART-DISCLOSED:

## U.S. PATENT DOCUMENTS

Search Selected

Search ALL

PAT-NO

ISSUE-DATE

PATENTEE-NAME

US-CL

Re29909

February 1979

Kurtz

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ART-UNIT: 128

PRIMARY-EXAMINER: Wu; Shean C.

#### ABSTRACT:

In accordance with the present invention, a composition and method is provided that is effective in treating infections caused by microorganisms including, but not limited to, bacteria, viruses, and fungi. The present invention is effective in inhibiting the growth of bacteria such as Mycobacterium species including, but not limited to, Mycobacterium avium-intracellulare complex and M. tuberculosis. The present invention comprises a surface active copolymer, preferably an ethylene oxide-propylene oxide condensation product with the following general formula:

$\text{HO}(\text{C.sub.2 H.sub.4 O})\text{.sub.b} (\text{C.sub.3 H.sub.6 O})\text{.sub.a} (\text{C.sub.2 H.sub.4 O})\text{.sub.b H}$

wherein a is an integer such that the hydrophobe represented by  $(\text{C.sub.3 H.sub.6 O})$  has a molecular weight of approximately 1200 to 15,000, and b is an integer such that the hydrophile portion represented by  $(\text{C.sub.2 H.sub.4 O})$  constitutes approximately 1% to 50% by weight of the compound.

86 Claims, 24 Drawing figures

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L5: Entry 15 of 37

File: USPT

Sep 21, 1999

US-PAT-NO: 5955469

DOCUMENT-IDENTIFIER: US 5955469 A

TITLE: Pharmaceutical composition

DATE-ISSUED: September 21, 1999

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Asakura; Sotoo	Kyoto			JP
Fukae; Michiyo	Osaka			JP
Nakanishi; Shigeo	Neyagawa			JP
Koyama; Yasuto	Itami			JP
Kiyota; Youhei	Ikeda			JP

US-CL-CURRENT: 514/291; 514/411

## CLAIMS:

What is claimed is:

1. An injectable O/W emulsion composition containing 17-allyl-1,14-dihydroxy-12-(2-(4-hydroxy-3-methoxycyclohexyl)-1-methylvinyl)-23,25-dimethoxy-13,19,21,27-tetramethyl-11,28-dioxo-4-azatricyclo[22.3.1.0.sup.4,9]octacos-18-ene-2,3,10,16-tetraone, egg yolk lecithin and soybean oil, in which egg yolk lecithin and soybean oil are in the ratio of 0.5-50:100 by weight.
2. The emulsion as defined in claim 1, wherein egg yolk lecithin and soybean oil are in the ratio of 2-20:100 by weight.
3. The emulsion as defined in claim 1, wherein glycerine is further included.
4. The emulsion as defined in claim 2, wherein glycerine is further included.

**WEST**[Generate Collection](#)[Print](#)**Search Results - Record(s) 1 through 30 of 37 returned.**☐ 1. Document ID: US 6458383 B2

L5: Entry 1 of 37

File: USPT

Oct 1, 2002

US-PAT-NO: 6458383

DOCUMENT-IDENTIFIER: US 6458383 B2

TITLE: Pharmaceutical dosage form for oral administration of hydrophilic drugs, particularly low molecular weight heparin

DATE-ISSUED: October 1, 2002

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Chen; Feng-Jing	Salt Lake City	UT		
Patel; Mahesh V.	Salt Lake City	UT		
Fikstad; David T.	Salt Lake City	UT		

US-CL-CURRENT: 424/451; 424/434, 424/435, 424/450, 424/455, 424/456, 424/463, 424/464, 424/489, 424/499, 424/502, 514/56, 514/937, 514/938, 514/939, 514/940, 514/941, 514/942, 514/943, 514/975

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	RMC
Draw Desc	Image										

☐ 2. Document ID: US 6451339 B2

L5: Entry 2 of 37

File: USPT

Sep 17, 2002

US-PAT-NO: 6451339

DOCUMENT-IDENTIFIER: US 6451339 B2

TITLE: Compositions and methods for improved delivery of hydrophobic agents

DATE-ISSUED: September 17, 2002

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Patel; Mahesh V.	Salt Lake City	UT		
Chen; Feng-Jing	Salt Lake City	UT		

US-CL-CURRENT: 424/451; 424/435, 424/450, 424/455, 424/456, 424/463, 424/464, 424/489, 424/499, 424/502, 514/937, 514/938, 514/939, 514/940, 514/941, 514/942, 514/943, 514/975



Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWMC
Draw Desc	Image										

☐ 3. Document ID: US 6433040 B1

L5: Entry 3 of 37

File: USPT

Aug 13, 2002

US-PAT-NO: 6433040

DOCUMENT-IDENTIFIER: US 6433040 B1

TITLE: Stabilized bioactive preparations and methods of use

DATE-ISSUED: August 13, 2002

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Dellamary; Luis A.	San Marcos	CA		
Tarara; Thomas E.	San Diego	CA		
Kabalnov; Alexey	Corvallis	OR		
Weers; Jeffry G.	San Diego	CA		
Schutt; Ernest G.	San Diego	CA		

US-CL-CURRENT: 523/218; 128/203.15, 424/46, 424/499, 424/501, 424/502, 523/122,  
523/223, 524/462, 524/795

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWMC
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☐ 4. Document ID: US 6375931 B2

L5: Entry 4 of 37

File: USPT

Apr 23, 2002

US-PAT-NO: 6375931

DOCUMENT-IDENTIFIER: US 6375931 B2

TITLE: Contrast agents

DATE-ISSUED: April 23, 2002

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
.O slashed.stensen; Jonny	Oslo			NO
Eriksen; Morten	Oslo			NO
Frigstad; Sigmund	Trondheim			NO
Rongved; P.ang.l	Olso			NO

US-CL-CURRENT: 424/9.52

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWMC
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☐ 5. Document ID: US 6312703 B1

L5: Entry 5 of 37

File: USPT

Nov 6, 2001

US-PAT-NO: 6312703

DOCUMENT-IDENTIFIER: US 6312703 B1

TITLE: Compressed lecithin preparations

DATE-ISSUED: November 6, 2001

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Orthoefer; Frank T.	Chesterfield	MO		

US-CL-CURRENT: [424/401](#); [424/400](#), [424/450](#), [424/59](#), [424/65](#), [514/78](#), [514/844](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMIC
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☐ 6. Document ID: US 6309663 B1

L5: Entry 6 of 37

File: USPT

Oct 30, 2001

US-PAT-NO: 6309663

DOCUMENT-IDENTIFIER: US 6309663 B1

TITLE: Triglyceride-free compositions and methods for enhanced absorption of hydrophilic therapeutic agents

DATE-ISSUED: October 30, 2001

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Patel; Mahesh V.	Salt Lake City	UT		
Chen; Feng-Jing	Salt Lake City	UT		

US-CL-CURRENT: [424/450](#); [424/435](#), [424/451](#), [424/455](#), [424/456](#), [424/463](#), [424/464](#), [424/489](#), [424/499](#), [424/502](#), [514/937](#), [514/938](#), [514/939](#), [514/940](#), [514/941](#), [514/942](#), [514/943](#), [514/975](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMIC
Draw Desc	Image									

☐ 7. Document ID: US 6309623 B1

L5: Entry 7 of 37

File: USPT

Oct 30, 2001

US-PAT-NO: 6309623

DOCUMENT-IDENTIFIER: US 6309623 B1

TITLE: Stabilized preparations for use in metered dose inhalers

DATE-ISSUED: October 30, 2001

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Weers; Jeffry G.	San Diego	CA		
Schutt; Ernest G.	San Diego	CA		
Dellamary; Luis A.	San Marcos	CA		
Tarara; Thomas E.	San Diego	CA		
Kabalnov; Alexey	Corvallis	OR		

US-CL-CURRENT: 424/45; 424/46, 424/489

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWIC
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☐ 8. Document ID: US 6294192 B1

L5: Entry 8 of 37

File: USPT

Sep 25, 2001

US-PAT-NO: 6294192

DOCUMENT-IDENTIFIER: US 6294192 B1

TITLE: Triglyceride-free compositions and methods for improved delivery of hydrophobic therapeutic agents

DATE-ISSUED: September 25, 2001

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Patel; Mahesh V.	Salt Lake City	UT		
Chen; Feng-Jing	Salt Lake City	UT		

US-CL-CURRENT: 424/451; 424/450, 424/464, 424/489, 514/772, 514/937, 514/962, 514/963, 514/975

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWIC
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☐ 9. Document ID: US 6267985 B1

L5: Entry 9 of 37

File: USPT

Jul 31, 2001

US-PAT-NO: 6267985

DOCUMENT-IDENTIFIER: US 6267985 B1

TITLE: Clear oil-containing pharmaceutical compositions

DATE-ISSUED: July 31, 2001

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Chen; Feng-Jing	Salt Lake City	UT		
Patel; Mahesh V.	Salt Lake City	UT		

US-CL-CURRENT: 424/451; 424/43, 424/433, 424/436, 424/441, 424/443, 424/455, 424/456,

424/458, 424/463, 424/464, 424/465, 424/489, 424/490, 424/731, 424/735, 424/750,  
424/757, 424/764, 514/44, 514/772.2, 514/772.3, 514/777, 514/778, 514/779, 514/781,  
514/783, 514/784, 514/785, 514/786, 514/937, 514/944

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMOC
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☐ 10. Document ID: US 6207178 B1

L5: Entry 10 of 37

File: USPT

Mar 27, 2001

US-PAT-NO: 6207178

DOCUMENT-IDENTIFIER: US 6207178 B1

TITLE: Solid lipid particles, particles of bioactive agents and methods for the manufacture and use thereof

DATE-ISSUED: March 27, 2001

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Westesen; Kirsten	Konigslutter/Bornum			DE
Siekman; Britta	Braunschweig			DE

US-CL-CURRENT: 424/405; 252/363.5, 264/4.4, 424/400, 424/497, 424/498, 504/362,  
514/937, 514/964, 516/77, 516/926, 516/928

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMOC
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☐ 11. Document ID: US 6117415 A

L5: Entry 11 of 37

File: USPT

Sep 12, 2000

US-PAT-NO: 6117415

DOCUMENT-IDENTIFIER: US 6117415 A

TITLE: Toothpaste comprising bioadhesive submicron emulsion for improved delivery of antibacterial and anticaries agents

DATE-ISSUED: September 12, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Schwarz; Joseph	North York			CA

US-CL-CURRENT: 424/49; 424/54

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMOC
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☐ 12. Document ID: US 6086915 A

L5: Entry 12 of 37

File: USPT

Jul 11, 2000

US-PAT-NO: 6086915

DOCUMENT-IDENTIFIER: US 6086915 A

TITLE: Compositions and methods of adjusting steroid hormone metabolism through phytochemicals

DATE-ISSUED: July 11, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Zeligs; Michael A.	Boulder	CO		
Jacobs; Irwin C.	Eureka	MO		

US-CL-CURRENT: [424/455](#); [424/439](#), [424/456](#), [424/492](#), [424/736](#), [514/323](#), [549/403](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMOC
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☐ 13. Document ID: US 6027730 A

L5: Entry 13 of 37

File: USPT

Feb 22, 2000

US-PAT-NO: 6027730

DOCUMENT-IDENTIFIER: US 6027730 A

TITLE: Herpes simplex vaccine comprising HSV glycoprotein GD and 3 deacylated monophosphoryl lipid A

DATE-ISSUED: February 22, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Francotte; Myriam	Rixensart			BE
Prieels; Jean-Paul	Rixensart			BE
Slaoui; Moncef	Rixensart			BE
Garcon-Johnson; Nathalie Marie-Josephe Claude	Rixensart			BE

US-CL-CURRENT: [424/229.1](#); [424/184.1](#), [424/192.1](#), [424/202.1](#), [424/208.1](#), [424/226.1](#), [424/227.1](#), [424/231.1](#), [424/282.1](#), [424/486](#), [424/499](#), [424/690](#), [424/698](#), [514/12](#), [514/4](#), [514/8](#), [530/350](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMOC
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☐ 14. Document ID: US 5993846 A

L5: Entry 14 of 37

File: USPT

Nov 30, 1999

US-PAT-NO: 5993846

DOCUMENT-IDENTIFIER: US 5993846 A

TITLE: Bioadhesive emulsion preparations for enhanced drug delivery

DATE-ISSUED: November 30, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Friedman; Doron	Carmei Yosef			IL
Schwarz; Joseph	Rehovot			IL
Amselem; Shimon	Rehovot			IL

US-CL-CURRENT: 424/434; 424/435, 424/436, 424/450, 514/937, 514/938

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMIC
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☐ 15. Document ID: US 5955469 A

L5: Entry 15 of 37

File: USPT

Sep 21, 1999

US-PAT-NO: 5955469

DOCUMENT-IDENTIFIER: US 5955469 A

TITLE: Pharmaceutical composition

DATE-ISSUED: September 21, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Asakura; Sotoo	Kyoto			JP
Fukae; Michiyo	Osaka			JP
Nakanishi; Shigeo	Neyagawa			JP
Koyama; Yasuto	Itami			JP
Kiyota; Youhei	Ikeda			JP

US-CL-CURRENT: 514/291; 514/411

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMIC
Draw Desc	Image									

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☐ 16. Document ID: US 5885486 A

L5: Entry 16 of 37

File: USPT

Mar 23, 1999

US-PAT-NO: 5885486

DOCUMENT-IDENTIFIER: US 5885486 A

TITLE: Solid lipid particles, particles of bioactive agents and methods for the manufacture and use thereof

DATE-ISSUED: March 23, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Westesen; Kirsten	Konigslutter/Bornum			DE
Siekmann; Britta	Braunschweig			DE

US-CL-CURRENT: 428/402.24; 516/40, 516/77, 516/926

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMIC
Draw Desc	Image									

☐ 17. Document ID: US 5858410 A

L5: Entry 17 of 37

File: USPT

Jan 12, 1999

US-PAT-NO: 5858410

DOCUMENT-IDENTIFIER: US 5858410 A

TITLE: Pharmaceutical nanosuspensions for medicament administration as systems with increased saturation solubility and rate of solution

DATE-ISSUED: January 12, 1999

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Muller; Rainer H.	Berlin			DE
Becker; Robert	Biberach			DE
Kruss; Bernd	Hochdorf			DE
Peters; Katrin	Berlin			DE

US-CL-CURRENT: 424/489; 424/491, 424/493, 424/494, 424/495, 424/499

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMIC
Draw Desc	Image									

☐ 18. Document ID: US 5843509 A

L5: Entry 18 of 37

File: USPT

Dec 1, 1998

US-PAT-NO: 5843509

DOCUMENT-IDENTIFIER: US 5843509 A

TITLE: Stabilization of colloidal systems through the formation of lipid-polyssacharide complexes

DATE-ISSUED: December 1, 1998

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Calvo Salve; Pilar	Santiago de Compostela			ES
Alonso Fernandez; Maria Jose	Santiago de Compostela			ES
Remunan Lopez; Carmen	Santiago de Compostela			ES
Vila Jato; Jose Luis	Santiago de Compostela			ES

US-CL-CURRENT: 424/489; 424/490, 424/491, 424/493, 424/497, 424/498, 514/937, 514/963

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
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KIMC

☐ 19. Document ID: US 5811088 A

L5: Entry 19 of 37

File: USPT

Sep 22, 1998

US-PAT-NO: 5811088

DOCUMENT-IDENTIFIER: US 5811088 A

TITLE: Antiinfective compounds and methods of use

DATE-ISSUED: September 22, 1998

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Hunter; Robert L.	Tucker	GA		
Emanuele; R. Martin	Alpharetta	GA		
Allaudeen; Hameedsulthan S.	Alpharetta	GA		

US-CL-CURRENT: 424/78.08; 424/78.17

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw. Desc	Image								

KIMC

☐ 20. Document ID: US 5785976 A

L5: Entry 20 of 37

File: USPT

Jul 28, 1998

US-PAT-NO: 5785976

DOCUMENT-IDENTIFIER: US 5785976 A

TITLE: Solid lipid particles, particles of bioactive agents and methods for the manufacture and use thereof

DATE-ISSUED: July 28, 1998

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Westesen; Kirsten	Konigslutter/Bornum			DE
Siekmann; Britta	Braunschweig			DE

US-CL-CURRENT: 424/400; 252/363.5, 264/4.4, 424/405, 424/484, 424/498, 427/213.32, 428/402.24, 504/363, 514/965, 516/31, 516/77, 516/9, 516/922, 516/926, 516/930

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw. Desc	Image								

KIMC

☐ 21. Document ID: US 5750142 A



L5: Entry 21 of 37

File: USPT

May 12, 1998

US-PAT-NO: 5750142

DOCUMENT-IDENTIFIER: US 5750142 A

TITLE: Dry compositions for preparing submicron emulsions

DATE-ISSUED: May 12, 1998

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Friedman; Doron	Karmei-Yosef			IL
Aldouby; Yanir	Modiin			IL

US-CL-CURRENT: 424/450; 264/4.1, 264/4.3, 514/937, 514/938, 514/939, 514/943

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMOC
Draw Desc	Image									

☐ 22. Document ID: US 5750141 A

L5: Entry 22 of 37

File: USPT

May 12, 1998

US-PAT-NO: 5750141

DOCUMENT-IDENTIFIER: US 5750141 A

TITLE: Administration of vaso-active agent and therapeutic agent

DATE-ISSUED: May 12, 1998

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Roberts; Michael Stephen	Westlake			AU
Cross; Sheree Elizabeth	Tarragindi			AU
Singh; Parminder	Sussern	NY		

US-CL-CURRENT: 424/449; 514/944, 514/945, 514/969

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMOC
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☐ 23. Document ID: US 5744155 A

L5: Entry 23 of 37

File: USPT

Apr 28, 1998

US-PAT-NO: 5744155

DOCUMENT-IDENTIFIER: US 5744155 A

TITLE: Bioadhesive emulsion preparations for enhanced drug delivery

DATE-ISSUED: April 28, 1998

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Friedman; Doron	Carmei Yosef			IL
Schwartz; Joseph	Rehovot			IL
Amselem; Shimon	Rehovot			IL

US-CL-CURRENT: 424/434; 424/435, 424/436, 424/450, 514/937, 514/938

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMIC
Draw Desc	Image									

☐ 24. Document ID: US 5716637 A

L5: Entry 24 of 37

File: USPT

Feb 10, 1998

US-PAT-NO: 5716637

DOCUMENT-IDENTIFIER: US 5716637 A

TITLE: Solid fat nanoemulsions as vaccine delivery vehicles

DATE-ISSUED: February 10, 1998

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Anselem; Shimon	Rehovot			IL
Lowell; George H.	Baltimore	MD		
Aviv; Haim	Rehovot			IL
Friedman; Doron	Carmei Yosef			IL

US-CL-CURRENT: 424/450; 424/184.1, 424/188.1, 424/204.1, 424/208.1, 424/234.1, 424/236.1, 424/237.1, 424/269.1, 424/489, 424/490, 424/502, 428/937, 514/937

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMIC
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☐ 25. Document ID: US 5693608 A

L5: Entry 25 of 37

File: USPT

Dec 2, 1997

US-PAT-NO: 5693608

DOCUMENT-IDENTIFIER: US 5693608 A

TITLE: Method of administering a biologically active substance

DATE-ISSUED: December 2, 1997

## INVENTOR-INFORMATION:

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Hjortkj.ae butted.r; Rolf Kuhlman	Humleb.ae butted.r			DK

US-CL-CURRENT: 514/2; 514/4, 530/300

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KMIC

☐ 26. Document ID: US 5674911 A

L5: Entry 26 of 37

File: USPT

Oct 7, 1997

US-PAT-NO: 5674911

DOCUMENT-IDENTIFIER: US 5674911 A

TITLE: Antiinfective polyoxypropylene/polyoxyethylene copolymers and methods of use

DATE-ISSUED: October 7, 1997

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
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US-CL-CURRENT: 514/723; 568/624

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
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KMIC

☐ 27. Document ID: US 5665383 A

L5: Entry 27 of 37

File: USPT

Sep 9, 1997

US-PAT-NO: 5665383

DOCUMENT-IDENTIFIER: US 5665383 A

TITLE: Methods for the preparation of immunostimulating agents for in vivo delivery

DATE-ISSUED: September 9, 1997

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
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Soon-Shiong; Patrick	Los Angeles	CA		
Wong; Michael	Champagne	IL		
Sandford; Paul A.	Los Angeles	CA		
Suslick; Kenneth S.	Champagne	IL		
Desai; Neil P.	Los Angeles	CA		

US-CL-CURRENT: 424/450; 424/451, 424/465, 424/489

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments
Draw Desc	Image								

KMIC

☐ 28. Document ID: US 5665382 A

L5: Entry 28 of 37

File: USPT

Sep 9, 1997

US-PAT-NO: 5665382

DOCUMENT-IDENTIFIER: US 5665382 A

TITLE: Methods for the preparation of pharmaceutically active agents for in vivo delivery

DATE-ISSUED: September 9, 1997

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
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Sandford; Paul A.	Los Angeles	CA		
Suslick; Kenneth S.	Champaign	IL		
Desai; Neil P.	Los Angeles	CA		

US-CL-CURRENT: 424/450; 424/488, 424/9.1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWIC
Draw Desc	Image									

☐ 29. Document ID: US 5650156 A

L5: Entry 29 of 37

File: USPT

Jul 22, 1997

US-PAT-NO: 5650156

DOCUMENT-IDENTIFIER: US 5650156 A

TITLE: Methods for in vivo delivery of nutraceuticals and compositions useful therefor

DATE-ISSUED: July 22, 1997

## INVENTOR-INFORMATION:

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Sandford; Paul A.	Los Angeles	CA		
Suslick; Kenneth S.	Champagne	IL		
Desai; Neil P.	Los Angeles	CA		

US-CL-CURRENT: 424/400; 424/450, 424/451, 424/56, 424/9.3, 424/9.4, 424/9.5

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KWIC
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☐ 30. Document ID: US 5514670 A

L5: Entry 30 of 37

File: USPT

May 7, 1996

US-PAT-NO: 5514670

DOCUMENT-IDENTIFIER: US 5514670 A

TITLE: Submicron emulsions for delivery of peptides

DATE-ISSUED: May 7, 1996

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Friedman; Doron	Carmei Yosef			IL
Schwarz; Joseph	Rehovot			IL
Amselem; Shimon	Rehovot			IL

US-CL-CURRENT: 514/2; 424/78.08, 424/78.31, 424/78.33, 514/11, 514/12, 514/13, 514/14, 514/15, 514/18, 514/19, 514/3, 514/8

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KOMC
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L9: Entry 119 of 399

File: USPT

Oct 17, 2000

US-PAT-NO: 6132751

DOCUMENT-IDENTIFIER: US 6132751 A

TITLE: O/W emulsion composition for eye drops

DATE-ISSUED: October 17, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Suzuki; Hidekazu	Tokyo			JP
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Wada; Takahiro	Tokyo			JP
Nagoshi; Kaei	Tokyo			JP
Tahira; Noriko	Tokyo			JP
Hirata; Reiko	Tokyo			JP
Oguma; Touru	Tokyo			JP
Maeda; Makoto	Tokyo			JP

US-CL-CURRENT: 424/422; 424/427, 424/428

## CLAIMS:

What is claimed is:

1. An O/W emulsion composition for eye drops, consist essentially of a drug selected from the group consisting of fluorometholone, clobetasone butyrate and clobetasol propionate; a phospholipid; liquid paraffin; and water.

2. The O/W emulsion composition of claim 1 which consist essentially of the following components A to D:

A. a drug selected from the group consisting of fluorometholone, clobetasone butyrate and clobetasol propionate in an amount ranging from 0.001 to 0.05% (w/v);

B. a phospholipid in an amount ranging from 5 to 240 parts by weight per one part by weight of the component A;

C. liquid paraffin in an amount ranging from 0.5 to 80 parts by weight per one part by weight of the component B and at a concentration in the O/W emulsion of not more than 25% (w/v); and

D. water in a suitable amount.

3. The O/W emulsion composition of claim 1 which consist essentially of the following components A to D:

A. fluorometholone in an amount ranging from 0.001 to 0.05% (w/v);

B. a phospholipid in an amount ranging from 10 to 240 parts by weight per one

part by weight of the component A;

C. liquid paraffin in an amount ranging from 0.5 to 20 parts by weight per one part by weight of the component B and at a concentration in the O/W emulsion of not more than 25% (w/v); and

D. water in a suitable amount.

4. The O/W emulsion composition of claim 3, which consist essentially fluorometholone in an amount ranging from 0.005 to 0.05% (w/v).

5. The O/W emulsion composition of claim 1, which consist essentially of the following components A to D:

A. clobetasone butyrate or clobetasol propionate in an amount ranging from 0.001 to 0.05% (w/v);

B. a phospholipid in an amount ranging from 5 to 85 parts by weight per one part by weight of the component A;

C. liquid paraffin in an amount ranging from 0.5 to 80 parts by weight per one part by weight of the component B and at a concentration in the O/W emulsion of not more than 25% (w/v); and

D. water in a suitable amount.

6. The O/W emulsion composition of claim 5, which consist essentially of the following components A to D:

A. clobetasone butyrate in an amount ranging from 0.006 to 0.05% (w/v);

B. a phospholipid in an amount ranging from 10 to 25 parts by weight per one part by weight of the component A;

C. liquid paraffin in an amount ranging from 5 to 80 parts by weight per one part by weight of the component B and at a concentration in the O/W emulsion of not more than 25% (w/v); and

D. water in a suitable amount.

7. The O/W emulsion composition of claim 5, which consist essentially of the following components A to D:

A. clobetasol propionate in an amount ranging from 0.01 to 0.05% (w/v);

B. a phospholipid in an amount ranging from 5 to 10 parts by weight per one part by weight of the component A;

C. liquid paraffin in an amount ranging from 10 to 80 parts by weight per one part by weight of the component B and at a concentration in the O/W emulsion of not more than 25% (w/v); and

D. water in a suitable amount.

8. The O/W emulsion composition of claim 1, which consist essentially of an isotoning agent or a preservative or a mixture thereof.

9. The O/W emulsion composition of claim 1, which consist essentially of, as a stabilizer, at least one member selected from the group consisting of tocopherol and compounds thereof, amino acids, citric acid, EDTA and pharmaceutically acceptable salts thereof.

10. The O/W emulsion composition of claim 1, wherein the phospholipid is selected from the group consisting of yolk lecithin, soybean lecithin and lyso-forms and hydrogenated products thereof.

11. The O/W emulsion composition of claim 1, wherein the phospholipid is selected from the group consisting of phosphatidylcholine, phosphatidylethanolamine, phosphatidyl serine, phosphatidylinositol, phosphatidylglycerol, dicetyl phosphate, sphingomyelin, dimyristoyl phosphatidyl choline, dipalmitoyl phosphatidylcholine and distearoyl phosphatidyl choline.

12. The O/W emulsion composition of claim 1, wherein said liquid paraffin has a specific gravity (20/20.degree. C.) of from 0.830 to 0.870 and a kinematic viscosity (as determined at 37.8.degree. C.) of less than 37 cst.

13. The O/W emulsion composition of claim 1, wherein said liquid paraffin has a specific gravity (20/20.degree. C.) of from 0.860 to 0.890 and a kinematic viscosity (as determined at 37.8.degree. C.) of not less than 37 cst.

14. The O/W emulsion composition of claim 9, wherein said tocopherol compound is selected from the group consisting of tocopherol acetate, tocopherol nicotinate and tocopherol succinate.

15. The O/W emulsion composition of claim 1, which has a pH of from 4.5 to 8.5.

16. The O/W emulsion composition of claim 15, which has a pH of from 6.0 to 8.0.

17. The O/W emulsion composition of claim 1, wherein the phospholipid is selected from the group consisting of yolk lecithin, soybean lecithin, lyso-forms and hydrogenated products of yolk lecithin and soybean lecithin, phosphatidylcholine, phosphatidylethanolamine, phosphatidylserine, phosphatidylinositol, phosphatidylglycerol, dicetyl phosphate, sphingomyelin, dimyristoyl phosphatidylcholine, dipalmitoyl phosphatidylcholine, and distearoyl phosphatidylcholine.

18. The O/W emulsion composition of claim 1, wherein the liquid paraffin has a specific gravity (20/20.degree. C.) ranging from 0.830 to 0.860, and a kinematic viscosity (as determined at 37.8.degree. C.) of less than 37 cst.

19. The O/W emulsion composition of claim 1, wherein the liquid paraffin has a specific gravity (20/20.degree. C.) ranging from 0.860 to 0.890, and a kinematic viscosity (as determined at 37.8.degree. C.) of not less than 37 cst.

20. The O/W emulsion composition of claim 1, which has a pH ranging from 4.5 to 8.5.

21. The O/W emulsion composition of claim 20, which has a pH ranging from 6.0 to 8.0.



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File: USPT

Jan 25, 2000

US-PAT-NO: 6017549

DOCUMENT-IDENTIFIER: US 6017549 A

TITLE: Non-irritating cosmetic and pharmaceutical compositions

DATE-ISSUED: January 25, 2000

## INVENTOR-INFORMATION:

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Castillo-Bucci; Carmen	Greenlawn	NY		
Zecchino; Jules	Closter	NJ		

US-CL-CURRENT: 424/401; 424/406, 514/2

## CLAIMS:

What we claim is:

1. A topically applied cosmetic or pharmaceutical emulsion for reducing the irritation properties of an irritating agent comprising the irritating agent in combination with at least one non-disruptive emulsifier.
2. The emulsion of claim 1 in which the emulsifier is selected from the group consisting of alkyl polyosides, a grafted water soluble protein on a hydrophobic backbone, and lecithin.
3. The emulsion of claim 1 in which the emulsifier is a cetearyl glucoside.
4. The emulsion of claim 1 in which the emulsifier is a wheat protein stearate.
5. The emulsion of claim 1 in which the emulsifier is a hydrogenated lecithin.
6. The emulsion of claim 1 in which the lecithin is a hydrogenated lecithin having a phosphatyl choline content of about 30-60%.
7. The emulsion of claim 1 which contains more than one of a non-disruptive emulsifier selected from the group consisting of an alkyl polyoside, a grafted water soluble protein on a hydrophobic backbone, and a lecithin.
8. The emulsion of claim 7 in which the lecithin is hydrogenated lecithin with a phosphatyl choline content of about 30-60%.
9. The emulsion of claim 1 in which the irritating agent is selected from the group consisting of retinoids, alpha- and beta-hydroxy acids and derivatives thereof; Vitamin C and derivatives thereof; resorcinol; benzoyl peroxide; lactamides; and quaternium ammonium lactates.
10. The emulsion of claim 1 in which the irritating agent is a retinoid.
11. The emulsion of claim 1 in which the irritating agent is retinol.

12. A cosmetic or pharmaceutical emulsion for reducing the irritation properties of a retinoid comprising the retinoid in combination with at least one non-disruptive emulsifier selected from the group consisting of alkyl polyosides, a grafted water soluble protein on a hydrophobic backbone, and lecithin.

13. The emulsion of claim 12 in which the retinoid is retinol and the emulsifier is at least one of cetearyl glucoside, wheat protein stearate, or hydrogenated lecithin.

14. The emulsion of claim 13 in which the hydrogenated lecithin has a phosphatyl choline content of about 30-60%.

15. The emulsion of claim 12 which comprises retinol, cetearyl glucoside, wheat protein stearate and hydrogenated lecithin.

16. The emulsion of claim 15 which comprises retinol in an amount of from about 0.001-2.0%, cetearyl glucoside in an amount of from about 0.5-10%, wheat protein stearate in an amount of from about 0.1-5.0%, and hydrogenated lecithin in an amount of from about 0.1-10%, each by weight of the total emulsion.

17. A method of decreasing the irritation on the skin caused by an irritating active agent in a topical cosmetic or pharmaceutical emulsion which comprises employing as an emulsifier at least one of an alkyl polyoside, a grafted water soluble protein on a hydrophobic backbone, and lecithin.

18. The method of claim 17 in which the lecithin is a hydrogenated lecithin.

19. The method of claim 17 in which the lecithin is a hydrogenated lecithin having a phosphatyl choline content of about 30-60%.

20. The method of claim 17 in which the irritating agent is selected from the group consisting of retinoids, alpha- and beta-hydroxy acids and derivatives thereof; Vitamin C and derivatives thereof; resorcinol; benzoyl peroxide; lactamides; and quaternium ammonium lactates.

21. The method of claim 17 in which the irritating agent is a retinoid.

22. The method of claim 17 in which the irritating agent is retinol.

23. The method of claim 17 in which the emulsifier is selected from the group consisting of cetearyl glucoside, wheat protein stearate, and a hydrogenated lecithin.

24. The method of claim 17 in which the irritating agent is a retinoid and the emulsifier comprises one of each of an alkyl polyoside, a grafted water soluble protein on a hydrophobic backbone, and lecithin.

25. The method of claim 17 in which the irritating agent is retinol and the emulsifier comprises one of each of cetearyl glucoside, wheat protein stearate, and a hydrogenated lecithin having a phosphatyl choline content of about 30-60%.

26. An oil-in-water emulsion for reducing the irritation properties of a retinoid comprising the retinoid in combination with a non-disruptive emulsifier selected from the group consisting of alkyl polyosides, a grafted water soluble protein on a hydrophobic backbone, and a hydrogenated lecithin.

27. Emulsion of claim 26 in which the lecithin is a hydrogenated lecithin having a phosphatyl choline content of about 30-60%.

28. The emulsion of claim 26 which comprises retinol and at least one of cetearyl glucoside, wheat protein stearate, and a hydrogenated lecithin having a phosphatyl choline content of about 30-60%.

29. The emulsion of claim 26 in which the retinoid is encapsulated.
30. The emulsion of claim 26 in which the retinoid is encapsulated in a microcapsule comprising a matrix containing collagen and a glycosaminoglycan.
31. The emulsion of claim 30 which comprises each of cetearyl glucoside, wheat protein stearate, and a hydrogenated lecithin.
32. The emulsion of claim 31 which comprises retinol in an amount of from about 0.001-2.0%, cetearyl glucoside in an amount of from about 0.5-10%, wheat protein stearate in an amount of from about 0.1-5.0%, and hydrogenated lecithin in an amount of from about 0.1-10%, each by weight of the total emulsion.
33. The emulsion of claim 32 in which the lecithin has a phosphatyl choline content of about 30-60%.

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L9: Entry 224 of 399

File: USPT

Nov 4, 1997

DOCUMENT-IDENTIFIER: US 5684050 A

TITLE: Stable emulsions of highly fluorinated organic compounds

Abstract Text (1):

Stable emulsions of highly fluorinated organic compounds for use as oxygen transport agents, "artificial bloods" or red blood cell substitutes and as contrast agents for biological imaging. The emulsions comprise a highly fluorinated organic compound, an oil that is not substantially surface active and not significantly soluble in water, a surfactant and water.

Brief Summary Text (2):

This invention relates to stable emulsions of highly fluorinated organic compounds and to processes of making and using them. More particularly, this invention relates to novel emulsions, stable even at room temperature, that comprise an oil, that is not substantially surface active and not significantly soluble in water, water, a surfactant and a highly fluorinated organic compound. Such emulsions are especially useful in compositions for use as oxygen transport agents, "artificial bloods" or red blood cell substitutes and as contrast agents for biological imaging.

Brief Summary Text (6):

Various attempts have been made to solve these problems and to prepare stable emulsions containing high concentrations of clinically suitable highly fluorinated organic compounds. None has been successful. For example, a variety of fluorocarbons and combinations of them have been used in preparing the emulsions in hopes of improving their stability. None has produced a medically effective and commercially acceptable emulsion that is stable at room temperature. For example, the only fluorocarbon emulsion to reach clinical testing as an "artificial blood", "Fluosol DA 20%", is about a 12% by volume emulsion of two fluorocarbons--perfluorodecalin and perfluorotripropylamine--in a mixture of two surfactants--yolk phospholipid and Pluronic F-68. It is not stable in the liquid state and must be stored frozen (Yokoyama et al., supra). Furthermore, the required presence of the perfluorotripropylamine in this emulsion, to help "stabilize" it, disadvantages the emulsion's medical usefulness because the half-life of the perfluorotripropylamine in the liver and other body tissues is longer than desirable (see, e.g., K. Yokoyama et al., supra). Finally, because this emulsion contains only about 12% fluorocarbon by volume, it is much less therapeutically effective than desired because of its low oxygen content capacity (see, e.g., "Fluosol-DA As A Red Cell Substitute In Acute Anemia", N. E. Jour. Med., 314, pp. 1653-66 (1986)).

Brief Summary Text (7):

Emulsions of other perfluorocarbons have likewise not been very effective in avoiding these instability and oxygen capacity problems. For example, an emulsion of perfluoro-4-methyloctahydroquinolidizine (FMOQ) in two surfactants--Pluronic F-68 and yolk phospholipid--must be stored at 4.degree. C. (K. Yokoyama et al., supra).

Brief Summary Text (8):

Various surfactants have also been investigated in the hope that some would produce useful, stable emulsions of highly fluorinated organic compounds for use as oxygen transport agents and "artificial bloods". Again, these attempts have failed. For example, fluorocarbon emulsions containing a hydrogenated phospholipid, a nonionic polymeric surfactant and a surfactant selected from 6-22 C fatty acids, their salts and monoglycerides must also be stored at 4.degree. C. See, e.g., Japanese patent

application 59,067,229, U.S. Pat. No. 4,252,827 and Germany Offen. DE 2630506.

Brief Summary Text (12):

The emulsions of this invention comprise at least one highly fluorinated organic compound; an oil that is not substantially surface active and not significantly soluble in water; a surfactant and water.

Brief Summary Text (15):

The emulsions of this invention comprise at least one highly fluorinated organic compound; an oil that is not substantially surface active and not significantly soluble in water; a surfactant and water.

Brief Summary Text (17):

While not wishing to be bound by theory, we believe that the emulsions of this invention may have the highly fluorinated organic compound dispersed in oil and that oil-fluorocarbon combination emulsified in the water and surfactant. However, other possible phases and interfaces are also within the scope and intent of this invention.

Brief Summary Text (23):

Among the surfactants useful in the emulsions of this invention are any of the known anionic, cationic, nonionic and zwitterionic surfactants. These include, for example, anionic surfactants, such as alkyl or aryl sulfates, sulfonates, carboxylates or phosphates, cationic surfactants such as mono-, di-, tri-, and tetraalkyl or aryl ammonium salts, nonionic surfactants, such as alkyl or aryl compounds, whose hydrophilic part consists of polyoxyethylene chains, sugar molecules, polyalcohol derivatives or other hydrophilic groups and zwitter-ionic surfactants that may be combinations of the above anionic or cationic groups, and whose hydrophobic part consists of any other polymer, such as polyisobutylene or polypropylene oxides. Again, combinations of these surfactants may, of course, be used in the emulsions of this invention. In addition, mixtures of compounds, one or more of which are not surfactants, but which compounds when combined act as surfactants may also be usefully employed as the surfactant component of the emulsions of this invention.

Brief Summary Text (24):

Again, when the emulsions of this invention are to be used in "artificial bloods" or red blood cell substitutes, the surfactant, or combinations of them, must be physiologically acceptable. For example, in "artificial bloods" we prefer nonionic surfactants. Preferably, the surfactants used in the emulsions of this invention are one or more of the following: egg phosphatides, lecithin, and alkyl salts of oleic acid, such as sodium oleate.

Brief Summary Text (25):

While the amount of a particular surfactant used in the emulsions of this invention depends on the amounts and properties of the other components of the emulsion, typically we employ about 0.5 to 7% (by weight of the non-fluorocarbon volume) of surfactant. More preferably, we use about 1-2% (by weight).

Brief Summary Text (26):

In addition to the highly fluorinated organic compounds, oils, surfactants and water, the emulsions of this invention may also contain other components conventionally used in "artificial bloods" or blood substitutes, oxygen transport agents or contrast agents for biological imaging. For example, when used as a blood substitute, an emulsion according to this invention should contain an isotonic agent, typically glycerol, to adjust the osmotic pressure of the emulsion to about that of blood. Typically we use about 2.5% (by weight of the non-fluorocarbon volume) of glycerol. However, other amounts and other osmotic pressure controlling agents, e.g., Tyrode solution, could as well be used. The emulsions of this invention may also include other components, such as oncotic agents, e.g., dextran or HES, and antioxidants.

Brief Summary Text (27):

The emulsions of this invention may be prepared using any order of mixing the four main components of our emulsions--highly fluorinated organic compound, oil, surfactant and water. However, for an optimal emulsion we prefer to mix the fluorocarbon first with the oil in the presence of a combination of all or part of

the surfactant and some water. We then prepare the final emulsion by emulsifying this first emulsion in the remaining water and any remaining surfactant.

Detailed Description Text (7):

We prepared an emulsion containing 40% by volume perfluorodecalin and 60% by volume of a first emulsion containing safflower oil (10% by weight), soybean oil (10% by weight), lecithin (1.2% by weight), glycerol (2.5% by weight), water (76.3% by weight) and sodium hydroxide to pH 8.3. We prepared the final emulsion by combining 20 ml perfluorodecalin and 30 ml of the first emulsion and mixing the combination in a Fisher touch-mixer for 20 min. We then ran the resulting emulsion through a Microfluidizer for 1 hour at 60 psi.

Detailed Description Text (10):

Using the substantially same process as described in Example 2, we prepared an emulsion containing 40% by volume perfluorodecalin and 60% by volume of a first emulsion containing safflower oil (10% by weight), soybean oil (10% by weight), lecithin (2.0% by weight), glycerol (2.5% by weight), water (75.5% by weight) and sodium hydroxide to pH 8.3. As before, the resulting emulsion was still stable after 4 weeks at room temperature.

Detailed Description Text (12):

We prepared an emulsion containing 40% by volume perfluorodecalin and 60% by volume of a first emulsion containing safflower oil (10% by weight), soybean oil (10% by weight), lecithin (2.0% by weight), glycerol (2.5% by weight), XMO-20 (see, e.g., U.S. Pat. No. 4,443,480) (0.1% by weight), water (75.4% by weight) and sodium hydroxide to pH 8.3. We prepared the final emulsion by combining 20 ml perfluorodecalin and 30 ml of the first emulsion and mixing the combination in a Fisher touch mixer until the lecithin and XMO-20 were completely dissolved. We then ran the emulsion through a Microfluidizer for 30 min at 60 psi. The resulting emulsion was still stable after 4 weeks at room temperature.

Detailed Description Text (14):

We prepared an emulsion containing 40% by volume perfluorodecalin and 60% by volume of a first emulsion containing safflower oil (10% by weight), soybean oil (10% by weight), lecithin (1.2% by weight), glycerol (2.5% by weight), oleic acid (0.8% by weight), water (75.5% by weight) and sodium hydroxide to pH 8.3. We prepared the final emulsion by mixing 20 ml perfluorodecalin and 30 ml of the first emulsion in a Fisher touch mixer for 10 min and running the resulting emulsion through a Microfluidizer for 45 min. The resulting emulsion was still stable after 4 weeks at room temperature.

Detailed Description Text (16):

We added lecithin to a final concentration of 2% (by weight) to the final emulsion of Example 5 and mixed it until the lecithin had completely dissolved. The emulsion was then run through a Microfluidizer for 30 min at 60 psi. The resulting emulsion was still stable after 4 weeks at room temperature.

Detailed Description Text (18):

We prepared an emulsion containing 40% by volume perfluorodecalin and 60% by volume of a mixture containing water (78.8% by weight), lecithin (1.2% by weight), glycerol (2.5% by weight), soybean oil (17.5% by weight) and sodium hydroxide to pH 8.0. We prepared the emulsion by mixing 0.377 g lecithin and 20 ml perfluorodecalin in a Fisher brand touch mixer for 10 min. We then added 5.4915 g soybean oil and mixed again for 10 min and added 0.7945 g glycerol and mixed again for 10 min. Finally, we added 24.721 g water stepwise with mixing. We made this addition by first adding 12.36 g of water to the mixture to disperse the fluorocarbon-oil-lecithin mixture and emulsified the resulting dispersion in a Microfluidizer for 30 min at 60 psi. We then emptied the emulsion from the Microfluidizer and poured the remaining water into the Microfluidizer. After adding the previously prepared emulsion dropwise to the water, we ran the resulting mixture through the Microfluidizer for 30 min at 60 psi and adjusted the pH to 8.0 with sodium hydroxide. We then again ran the emulsion through a Microfluidizer for 30 min at 60 psi. The final emulsion was still stable after 4 weeks at room temperature.

CLAIMS:

1. A physiologically acceptable emulsion comprising a highly fluorinated organic compound; an oil that is not substantially surface active and not significantly water soluble; a surfactant and water, wherein

(a) the highly fluorinated compound is present in the emulsion in an amount between about 15 and 70% by volume;

(b) the oil is present in an amount between about 10 and 30% by weight of the volume of the emulsion excluding the volume of the highly fluorinated organic compound; and

(c) the emulsion is stable after heating to at least 115.degree. C. for about 15 minutes.

11. The emulsion according to claim 1, wherein the surfactant is present in an amount between about 0.5 and 7% by weight of the non-highly fluorinated organic compound volume of the emulsion.

12. The emulsion according to claim 11, wherein the surfactant is present in an amount between about 1 and 2% by weight of the non-highly fluorinated organic compound volume of the emulsion.

13. The emulsion according to claim 1, wherein the surfactant is a physiologically acceptable surfactant.

14. The emulsion according to claim 13, wherein the surfactant is lecithin.

24. A physiologically acceptable emulsion comprising

(a) a highly fluorinated organic compound in an amount between about 15 and 70% by volume;

(b) an oil that is not substantially surface active and not significantly water soluble in an amount between about 10 and 30% by weight of the non-highly fluorinated organic compound volume;

(c) a surfactant in an amount between about 0.5 and 7% by weight of the non-highly fluorinated organic compound volume; and

(d) water, wherein the emulsion is stable after heating to at least 115.degree. C. for about 15 minutes.

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L9: Entry 242 of 399

File: USPT

May 6, 1997

US-PAT-NO: 5626873

DOCUMENT-IDENTIFIER: US 5626873 A

TITLE: Emulsions

DATE-ISSUED: May 6, 1997

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Weiner; Alan L.	Lawrenceville	NJ		
Carpenter-Green; Sharon	Gaffney	SC		

US-CL-CURRENT: 424/455; 424/450, 514/2, 514/3

## CLAIMS:

What is claimed is:

1. A method of administering a bioactive agent to a mammal by parenterally administering to the mammal a composition comprising an emulsion which comprises:

(a) the bioactive agent;

(b) water;

(c) a pharmaceutically acceptable oil having an HLB requirement of between about 8 and less than about 17.4; and,

(d) a phospholipid surfactant comprising from about 5% to about 30% (w/w) of the emulsion and providing an HLB value equal to the HLB requirement of the oil,

wherein dioleoyl phosphatidylethanolamine and a phosphatidylcholine having an HLB value of about 7.6 comprise 100% by weight of the phospholipid surfactant; wherein the weight percentage of dioleoyl phosphatidylethanolamine in the phospholipid surfactant and is from about 4.1% by weight of the phospholipid surfactant to less than 100% by weight of the surfactant; and,

wherein the weight percentage of the phosphatidylcholine in the phospholipid surfactant is equal to 100% by weight of the surfactant minus the weight percentage of dioleoyl phosphatidylethanolamine in the surfactant and is from greater than about 0% by weight of the surfactant to at most about 95.9% by weight of the surfactant.

2. The method of claim 1, wherein the bioactive agent is an anti-inflammatory, antibacterial, antiviral, antiparasitic, antifungal, antineoplastic, neurotransmitting, hormonal, immunomodulating or perfluorocarbon agent.

3. The method of claim 2, wherein the agent is the hormone insulin.

4. The method of claim 1, wherein the parenteral administration comprises subcutaneous or intravenous administration.



5. The method of claim 1, wherein the emulsion is in cream or gel form.
6. The method of claim 1, wherein the pharmaceutically acceptable oil is castor, soy, safflower, cottonseed, peanut, palm, mineral or corn oil.
7. The method of claim 1, wherein the HLB requirement is from less than about 10 to about 17.4.
8. The method of claim 1, wherein the surfactant comprises about 20% (w/w) of the emulsion.
9. The method of claim 1, wherein the phosphatidylcholine is egg phosphatidylcholine.
10. The method of claim 1, wherein the surfactant comprises DOPE and the phosphatidylcholine in a respective weight ratio (%) of from about 35:65 to about 65:35.
11. The method of claim 1, wherein the phospholipid consists essentially of DOPE and egg phosphatidylcholine in a respective weight ratio (%) of about 65:35.
12. The method of claim 1, wherein the composition further comprises a pharmaceutically acceptable carrier.

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L9: Entry 346 of 399

File: USPT

Dec 21, 1982

US-PAT-NO: 4364930

DOCUMENT-IDENTIFIER: US 4364930 A

TITLE: Cosmetic or pharmaceutical compositions in the form of stable oil-in-water emulsions

DATE-ISSUED: December 21, 1982

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Griat; Jacqueline	Ablon			FR
Zabotto; Arlette	Paris			FR
Koulbanis; Constantin	Paris			FR

US-CL-CURRENT: 514/772.1; 424/581, 424/59, 424/63, 514/773, 536/18.2

## CLAIMS:

What is claimed is:

1. An oil-in-water emulsion comprising 15 to 60 percent by weight of an oil phase, 40 to 85 percent by weight of an aqueous phase and an effective amount of an emulsifying agent, said emulsifying agent comprising

(i) a mixture of (1) an alkyl carboxylate of .alpha.-methyl glucoside, wherein the alkyl carboxylate moiety is selected from the group consisting of mono-laurate, di-laurate, mono-palmitate, di-palmitate, mono-stearate and di-stearate, or a mixture of said alkyl carboxylates of .alpha.-methyl glucoside and (2) an alkyl carboxylate of .alpha.-methyl glucoside polyoxyethylenated with 10-30 moles of ethylene oxide wherein the alkyl carboxylate moiety is selected from the group consisting of mono-laurate, di-laurate, mono-palmitate, di-palmitate, mono-stearate and di-stearate, or a mixture of said polyoxyethylenated alkyl carboxylates of .alpha.-methyl glucoside, the weight ratio of (1) to (2) ranging from 40-60:60-40, said mixture of (1) and (2) being present in an amount of about 3 to 15 percent by weight based on the total weight of said emulsion,

(ii) vegetable lecithin or egg lecithin, present in an amount of about 0.03 to 1.2 percent by weight based on the total weight of said emulsion,

(iii) egg yolk oil present in an amount of about 0.3 to 4 percent by weight based on the total weight of said emulsion, and

(iv) a water soluble acrylic acid polymer present in an amount of about 0.1 to 0.5 percent by weight based on the total weight of said emulsion.

2. The oil-in-water emulsion of claim 1 wherein said water soluble acrylic acid polymer is neutralized with triethanolamine.

3. The oil-in-water emulsion of claim 1 wherein the ratio of (1) to (2) is 50:50.

4. The oil-in-water emulsion of claim 1 wherein the vegetable lecithin is soy lecithin.
5. The oil-in-water emulsion of claim 1 wherein the weight ratio of egg yolk oil to the said vegetable lecithin or egg lecithin is 70-95:30-5.
6. The oil-in-water emulsion of claim 1 wherein (1) is mono-sesquistearate or di-sesquistearate of .alpha.-methyl glucoside.
7. The oil-in-water emulsion of claim 1 wherein (2) is mono-sesquistearate or di-sesquistearate of .alpha.-methyl glucoside polyoxyethylenated with 20 moles of ethylene oxide.

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L9: Entry 369 of 399

File: EPAB

Sep 6, 1996

DOCUMENT-IDENTIFIER: WO 9626997 A1

TITLE: AQUEOUS LECITHIN-BASED RELEASE AIDS AND METHODS OF USING THE SAME

Abstract (1):

An aqueous release aid composition is disclosed that comprises a stable emulsion of an alcohol, a fatty acid or oil, lecithin, a water soluble or water dispersible surfactant, and water. Methods of preparing such aqueous release aid compositions and methods of imparting release characteristics to various release surfaces and various viscous masses using the aqueous release aid compositions of the invention are also disclosed.

**WEST****End of Result Set**☐

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L10: Entry 2 of 2

File: USPT

Feb 4, 1992

DOCUMENT-IDENTIFIER: US 5085856 A

TITLE: Cosmetic water-in-oil emulsion lipstick comprising a phospholipid and glycerol fatty acid esters emulsifying system

Detailed Description Text (119):Particularly preferred germicides include Triclosan.

## CLAIMS:

4. The cosmetic emulsion of claim 1 wherein the phospholipid is a lecithin.

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L10: Entry 1 of 2

File: USPT

Sep 12, 2000

DOCUMENT-IDENTIFIER: US 6117415 A

TITLE: Toothpaste comprising bioadhesive submicron emulsion for improved delivery of antibacterial and anticaries agents

Abstract Text (1):

Toothpaste incorporating chlorhexidine bigluconate for improved adhesive onto the surface of the teeth. A second embodiment discusses the use of triclosan and in combination with sodium monofluorophosphate for use in the toothpaste.

Brief Summary Text (2):

The present invention relates to dental hygienic treatment and more particularly, the present invention relates to a submicron oil-in-water emulsion for prolonged local delivery of selected antibacterial compounds, especially chlorhexidine and chlorhexidine salts, quaternized alkylammonium derivatives, and triclosan, and additionally anticariotic compounds, such as fluorides, especially sodium fluoride, sodium monofluorophosphate and aminofluorides.

Brief Summary Text (4):

In the prior art, there are countless formulations of toothpaste/dentifrice, attributed for improving of dental hygiene of the user. The known formulations include various types and concentrations of antibacterial agents, surfactants, fluoride components, abrasives, polymers, salts, oxidants, flavor compounds and other useful components for user teeth. Nevertheless most of the toothpastes with antibacterial components show relatively short antiseptic action due to intensive cleaning of the treated surfaces in the mouth by saliva and rapid reduction of the concentration of active component below minimal inhibiting concentration (MIC). In order to prolong action of the antiseptics toothpaste formulation containing antiseptic triclosan and maleic anhydride-vinyl methyl ether copolymer for improvement of antibacterial action (U.S. Pat. No. 5,192,531) was developed. The anionic character of the polymer makes impossible the use of the potent cationic antiseptics in this formulation.

Brief Summary Text (19):

Since the active antiseptic component is entrapped into finely dispersed oil phase, its concentration in water is lower, thus unpleasant taste is significantly decreased. Moreover, chlorhexidine in submicron emulsion demonstrates less staining because reduced interaction of the oil droplets with tooth dentine and enamel. The bioadhesive coating of the tiny oil particles, charged with chlorhexidine or triclosan leads to significant prolongation of the drug presence on the mucous surfaces of the mouth, providing extended release of the antiseptic and flavor components.

Brief Summary Text (25):

Preferable antibacterial compounds include chlorhexidine and chlorhexidine salts, such as bigluconate or diacetate, triclosan, cetylpyridinium chloride, benzalconium chloride and cetyltrimethylammonium bromide.

Brief Summary Text (31):

In addition the toothpaste can include an anti-caries agent, selected from fluoride compounds, such as sodium fluoride (for chlorhexidine containing compositions) in concentrations between 0.1 to 0.5%, preferably between 0.20 to 0.23% by weight of the said toothpaste, or sodium monofluorophosphate for triclosan containing compositions,

in concentration from between 0.5 to 1.0%, preferably between 0.76 and 0.84% by weight of the said toothpaste.

Brief Summary Text (32):

Viscosity regulating agents can be selected according to prior art from the group of water-soluble polymers (Na-CMC for triclosan formulations, HPMC for chlorhexidine formulations) or colloidal silicon dioxide (fumed silica, Aerosil, Cab-O-Sil).

Detailed Description Text (18):

A bioadhesive submicron emulsion based toothpaste with 0.3% Triclosan as antibacterial agent, and sodium monofluorophosphate as anti-carries additive for improved oral hygiene.

Detailed Description Text (19):

In this example, triclosan arranges as a part of a mixture as a component in amount from 0.1 to 1.0%, or most desirably 0.3%. Further, the triglyceride oil, an example of which is Myritol 318.TM. manufactured by Cospha-Henkel, was incorporated into the mix in amount from between 2.0 to 20%, and desirably 4.62%. Egg lecithin was used as additional emulgator, and high molecular weight caroxymethylcellulose was employed as bioadhesive polymer and also as viscosity regulating agent. Sorbitol was used as 70% solution.

Detailed Description Text (21):

Tween-80.TM. can be replaced by another suitable non-ionic surfactant (PEG-32 stearate, Poloxamer, Simulsol, Cremophor, Tefose, etc.). In formulation with triclosan up to 80% of Tween.TM. can be replaced by sodium laurylsulfate (SLS) or sodium laurylsarcosinate or mixture thereof. pH of the toothpaste, if necessary, can be adjusted to desired value, using sodium triphosphate and/or monophosphate.

Detailed Description Text (25):

This formulation represents submicron emulsion based clear gel for oral hygiene with cetylpyridinium chloride and sodium fluoride. It was prepared by the same method as Example 1, but lipid phase of the submicron emulsion is prepared of isopropyl palmitate and soya lecithin S-75, cetylpyridinium chloride employed as antibacterial agent, and abrasive silica was selected to prepare clear gel. Sodium fluoride is used as anti-carries agent.

Detailed Description Text (27):

In this example, a toothpaste based on bioadhesive submicron emulsion with 0.3% of triclosan. This formulation was prepared as example 2, but the amount of carboxymethylcellulose 9M31XF was substituted with Carbopol 934P, and pH was adjusted to 6.0-6.5 to achieve optimal bioadhesive properties of the submicron emulsion.

Detailed Description Paragraph Table (2):

Phase	Component	Type	facturer	%	Toothpaste composition for Example 2 Manu-
					A <u>Triclosan</u>
USP/NF	0.30%	A	MCT oil	Myritol 318	Cospha- 4.62% Henkel A alpha-Tocopherol Eastman
0.02%	hemisuccinate	A	Lecithin (80%	E-80 Lipoid 0.50%	phosphatidylcholine) A Tween-80
.TM.	USP/NF	1.00%	(Polysorbate-80)	A Peppermint oil	Flavorchem 1.00%
B	Purified Water	10.00%	B	Carboxymethylcellulose	NF Hercules 0.10%
9M31XF	C				
Sorbitol	70%	8.00%	C	Glycerol 96%	Henkel 8.20%

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L10: Entry 1 of 2

File: USPT

Sep 12, 2000

US-PAT-NO: 6117415

DOCUMENT-IDENTIFIER: US 6117415 A

TITLE: Toothpaste comprising bioadhesive submicron emulsion for improved delivery of antibacterial and anticaries agents

DATE-ISSUED: September 12, 2000

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Schwarz; Joseph	North York			CA

US-CL-CURRENT: 424/49; 424/54

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMOC
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☐ 2. Document ID: US 5085856 A

L10: Entry 2 of 2

File: USPT

Feb 4, 1992

US-PAT-NO: 5085856

DOCUMENT-IDENTIFIER: US 5085856 A

TITLE: Cosmetic water-in-oil emulsion lipstick comprising a phospholipid and glycerol fatty acid esters emulsifying system

DATE-ISSUED: February 4, 1992

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Dunphy; Patrick J.	Wellingborough			GB2
Meyers; Alan J.	Trumbull	CT		
Rigg; Richard T.	New York	NY		

US-CL-CURRENT: 424/64; 424/401, 424/59, 424/63, 514/844, 514/873, 514/937, 514/941

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	KMOC
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Terms	Documents
L7 and triclosan	2

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DATE: Tuesday, February 04, 2003

<u>Set Name</u> side by side	<u>Query</u>	<u>Hit Count</u>	<u>Set Name</u> result set
<i>DB=USPT,JPAB,EPAB,DWPI,TDBD; PLUR=YES; OP=OR</i>			
L10	L7 and triclosan	2	L10
L9	L7 and surfactant\$	399	L9
L8	L7 and mucosa\$	181	L8
L7	emulsion\$ adj10 (lecithin)	864	L7
L6	emulsion\$ adj10 (phospholipid\$ or lecithin)	1530	L6
L5	L4 and mucosa\$	37	L5
L4	emulsion\$ adj5 (phospholipid\$ or lecithin)	860	L4
L3	L2 and micell\$	229	L3
L2	L1 and mucosa\$	575	L2
L1	emulsion\$ same (phospholipid\$ or lecithin)	6430	L1

END OF SEARCH HISTORY

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L9: Entry 286 of 399

File: USPT

Aug 16, 1994

US-PAT-NO: 5338761

DOCUMENT-IDENTIFIER: US 5338761 A

TITLE: Emulsified composition

DATE-ISSUED: August 16, 1994

## INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Nakajima; Hideo	Yokohama			JP
Kohchi; Miyuki	Yokohama			JP
Tomomasa; Satoshi	Yokohama			JP

US-CL-CURRENT: 514/772; 514/786, 514/937

## CLAIMS:

We claim:

1. An emulsified composition having an average particle size of 0.010 to 0.070  $\mu\text{m}$  comprising at least the following components, (A), (B) and (C);

(A) at least one lipid selected from the group consisting of vegetable oils, synthetic and semi-synthetic mono-, di-, and tri-glycerides, sterols, cholesterol esters, and monoesters and at least one lipid-soluble drug, selected from the group consisting of antitumor agents, antibacterial and antifungal agents, non-steroidal antiphlogistics, hormone agents, and lipid-soluble vitamins, the content of the drug being 1.times.10.sup.-6 % to 99.9% by weight in the component (A);

(B) glycerol and water, the content of the glycerol being an isotonic concentration or more; and

(C) at least one component selected from the group consisting of phospholipids and water-soluble nonionic surfactants having a molecular weight of 1000 or more, said phospholipids being selected from the group consisting of yolk lecithin, soybean lecithin and hydrogenated products thereof, phosphatidyl inositol, phosphatidylserine, sphingomyelin, phosphatidic acid, and phytoglycolipid, wherein the weight ratio of (A)/(C) is 0.5 to 5 and the weight ratio of (A)/(B)/(C) is 1-40/40-95/0.5-20, said emulsified composition being emulsified by using a mixture of glycerol and water at a weight ratio of glycerol/water during emulsification of 3/7 to 9/1.

2. An emulsified composition as claimed in claim 1, wherein the weight ratio of glycerol/water in the component (B) during emulsification is 3/7 to 9/1.

3. An emulsified composition as claimed in claim 1, wherein said component (C) comprises at least one phospholipid and at least one water-soluble nonionic surfactant in a weight ratio of 9.5/0.5 to 1/9.

4. An emulsified composition as claimed in claim 1, wherein the contents of the components (A), (B), and (C) are 1 to 40% by weight, 40% to 95% by weight, and

0.5 to 20% by weight, respectively, based on the total weight of the composition.

5. An emulsified composition as claimed in claim 1, wherein the weight ratio of (A)/(C) is 0.5 to 3.

6. An emulsified composition as claimed in claim 1, wherein the average particle size is 0.01 to 0.05  $\mu\text{m}$ .